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## PASSWORD:

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NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV		CHEMSAFE now available on STN Easy
NEWS	5	NOV		Two new SET commands increase convenience of STN
NEWS	5	1101	20	searching
NEWS	6	DEC	01	ChemPort single article sales feature unavailable
NEWS	7	DEC	12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC	17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN		The retention policy for unread STNmail messages
				will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN	0 /	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	13	FEB	06	Patent sequence location (PSL) data added to USGENE
NEWS	14	FEB	10	COMPENDEX reloaded and enhanced
NEWS	15	FEB		WTEXTILES reloaded and enhanced
NEWS		FEB		New patent-examiner citations in 300,000 CA/CAplus
				patent records provide insights into related prior art
NEWS	17	FEB	19	Increase the precision of your patent queries use terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	10	FEB	23	MEDLINE now offers more precise author group fields
CMTN	13	red	23	and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into
NEWS	22	FEB	25	STN patent clusters USGENE enhanced with patent family and legal status
NEWS	23	MAR	06	display data from INPADOCDB INPADOCDB and INPAFAMDB enhanced with new display
				formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants
MERGO	25	Mar	11	
NEWS		MAR		ESBIOBASE reloaded and enhanced
NEWS	∠6	MAR	∠U	CAS databases on STN enhanced with new super role

for nanomaterial substances

NEWS 27 MAR 23 CA/CAplus enhanced with more than 250,000 patent equivalents from China

NEWS 28 MAR 30 IMSPATENTS reloaded and enhanced

NEWS 29 APR 03 CAS coverage of exemplified prophetic substances enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.22 0.22

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2 DICTIONARY FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2

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chain nodes :

7 12 13 14 17 19

ring nodes :

1 2 3 4 5 6 8 10 11 20

chain bonds :

4-17 5-7 7-8 10-11 11-12 12-13 12-14 17-19

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-20 10-20

exact/norm bonds :

4-17 5-7 7-8 8-20 10-11 10-20 12-13 12-14 17-19

exact bonds :

11-12

normalized bonds :

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G1:C,O,S

G2:0,S

G3:Cb, Cy, Hy

Match level :

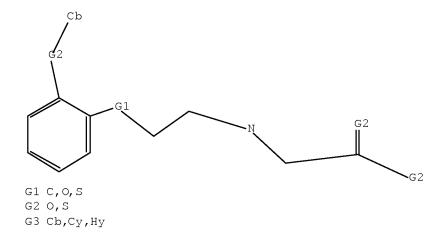
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 17:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.48 0.70

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 08:14:35 ON 06 APR 2009
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FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15 FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

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## http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L1 SSS full REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 08:14:39 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 41318 TO ITERATE

100.0% PROCESSED 41318 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

L3 0 L2

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.50 187.58

FULL ESTIMATED COST

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FILE CONTENT: 1961-PRESENT VOL 150 ISS 13 (20090403/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090048322 19 FEB 2009 DE 102007039155 19 FEB 2009 2022798 11 FEB 2009 EP JP 2009035500 19 FEB 2009 2009024087 26 FEB 2009 WO 2451715 11 FEB 2009 GB 2920023 20 FEB 2009 FR RU 2346937 20 FEB 2009 2618420 24 JAN 2009

The new MARPAT User Guide is now available at: http://www.cas.org/support/stngen/stndoc/marpat.html.

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FULL SEARCH INITIATED 08:14:50 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 80459 TO ITERATE

51.3%	PROCESSED	41260	ITERATIONS				35	ANSWERS
89.5%	PROCESSED	72011	ITERATIONS				78	ANSWERS
97.1%	PROCESSED	78164	ITERATIONS				91	ANSWERS
99.2%	PROCESSED	79809	ITERATIONS	(	1	INCOMPLETE)	96	ANSWERS

99.8% PROCESSED 80307 ITERATIONS ( 1 INCOMPLETE) 96 ANSWERS

100.0% PROCESSED 80459 ITERATIONS ( 2 INCOMPLETE) 97 ANSWERS

SEARCH TIME: 00.01.33

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SINCE FILE TOTAL ENTRY SESSION COST IN U.S. DOLLARS

FULL ESTIMATED COST 131.94 319.52

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FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15 FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

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24034941 PY<=2003

39 L5 AND PY<=2003 1.6

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YOU HAVE REQUESTED DATA FROM 39 ANSWERS - CONTINUE? Y/(N):y

ANSWER 1 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN 1.6 ACCESSION NUMBER: 2003:892617 CAPLUS Full-text

DOCUMENT NUMBER: 139:358786

TITLE: Treatment of diabetes and diabetic complications with

sodium-hydrogen exchanger type 1 (NHE-1) inhibitors

INVENTOR(S): Tracey, Wayne Ross; Treadway, Judith Lee

Pfizer Products Inc., USA PATENT ASSIGNEE(S): PCT Int. Appl., 73 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	TENT	NO.			KIN:		DATE		-			ION I			D	ATE	
WO	2003	0926	94				2003	1113	,						2	0030	422 <
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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AU	2003	2194	21		A1		2003	1117		AU 2	003-	2194.	21		2	0030	422 <
EP	1499	317			A1		2005	0126		EP 2	003-	7152.	32		2	0030	422
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MX	2004	0086	46		Α		2004	1206		MX 2	004-	8646			2	0040	906
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		•										IB16.					

OTHER SOURCE(S): MARPAT 139:358786

AB The invention provides methods for treating or preventing type 2 diabetes, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic microangiopathy, diabetic macroangiopathy, diabetic ischemia-reperfusion injury, diabetic cardiac ischemia-reperfusion injury and/or insulin resistance syndrome (IRS) in mammals, particularly in humans, by administering a sodium-hydrogen exchanger type 1 (NHE-1) inhibitor or a pharmaceutical composition containing such an inhibitor. The invention also provides combinations comprising NHE-1 inhibitors and a second pharmaceutical agent, the combinations being useful in treating type 2 diabetes, IRS, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic ischemia-reperfusion injury, diabetic macroangiopathy.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:892447 CAPLUS Full-text

DOCUMENT NUMBER: 139:358784

TITLE: Treatment of diabetes and diabetic complications with

NHE-1 inhibitors

INVENTOR(S): Tracey, W. Ross; Treadway, Judith L.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030212104	A1	20031113	US 2003-428521	20030501 <

PRIORITY APPLN. INFO.: US 2002-380028P P 20020502

OTHER SOURCE(S): MARPAT 139:358784

This invention relates to methods of treating or preventing type 2 diabetes, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic microangiopathy, diabetic macroangiopathy, diabetic ischemia reperfusion injury, diabetic cardiac ischemia reperfusion injury and/or insulin resistance syndrome (IRS) in mammals, particularly in humans, by administering a sodium-hydrogen exchanger type 1 (NHE-1) inhibitor or a pharmaceutical composition containing such an inhibitor. This invention also relates to combinations comprising NHE-1 inhibitors and a second pharmaceutical agent, said combinations being useful in treating type 2 diabetes, IRS, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic ischemia reperfusion injury, diabetic cardiac ischemia reperfusion injury, diabetic microangiopathy and/or diabetic macroangiopathy.

ANSWER 3 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:796371 CAPLUS Full-text

DOCUMENT NUMBER: 139:307685

Preparation of sulfonyl aryl or heteroaryl hydroxamic TITLE:

> acid compounds as matrix metalloprotease inhibitors Bedell, Louis J.; Mcdonald, Joseph J.; Barta, Thomas

INVENTOR(S): E.; Becker, Daniel P.; Rao, Shashidhar N.; Freskos,

John N.; Mischke, Brent V.; Getman, Daniel P.;

Decrescenzo, Gary A.; Villamil, Clara I.

G.D. Searle and Co., USA PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 200 pp., Cont.-in-part of U.S.

Ser. No. 230,209.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 11

PATENT INFORMATION:

						KIN:		DATE						NO.			DATE	
US	5 2		01913	317		A1 B2		2003 2004	1009									201 <
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US	3 2	20030	00738	845		A1		2003	0417		US 2	001-	9092	27		2	20010	719 <
US	5 6	6964	449			В2		2004	0224									
US	3 2	20050	00753	374		A1		2005	0407		US 2	004-	8673	91		2	20040	614
PRIORI	ſΥ	APPI	LN.	INFO	.:						WO 1	998-	US43	00		A1 1	L9980	304
											US 1	999-	3108	13		B1 1	L9990	512
											US 1	999-	2302	09		A2 1	L9990	624
											US 1	997-	3518	2P		P 1	L9970	304
											US 2	000-	5690	34		A2 2	20000	511
											US 2	000-	7284	08		A2 2	20001	201
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MARPAT 139:307685 OTHER SOURCE(S):

AΒ The title compds. [I; m, n = 0 or 1 and the sum of m + n is 0 or 1; the ring structure W is a 5- or 6-membered aromatic or heteroarom. ring; X = CH2 or (un) substituted NH2; R1 = (i) a substituent containing a 5- or 6-membered cyclohydrocarbyl, heterocyclyl, aryl or heteroaryl radical bonded directly to the depicted SO2 group or (ii) (un)substituted; R2, R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, O- or S-(un)substituted hydroxyalkyl or mercaptoalkyl, hydroxy, thiol, haloalkyl, N-(un)substituted amino, aminoalkyl, aminoalkanoylaminoalkyl, aminoalkoxy, or aminoalkoxyalkyl, heterocyclyl, heterocyclylalkyl, heterocyclyloxy, heterocyclylthio, heteroaryl, heteroarylalkyl, heteroaryloxy, heteroarylthio; or CR2R3 together forms an (un)substituted 4- to 8-membered carbocyclic or heterocyclic ring, that is preferably a 5- or 6-membered ring; R5, R6 = H, alkyl, cycloalkyl, acylalkyl, halo, NO2, HO, cyano, alkoxy, haloalkyl, haloalkoxy, hydroxyalkyl, N-(un) substituted aminoalkyl or aminoalkoxy, thiol, alkylthio, arylthio, cycloalkylthio, cycloalkoxy, alkoxyalkoxy, perfluoroalkyl, haloalkyl, heterocyclyloxy; or R5 and R6 together with the atoms to which they are bonded form a further aliphatic or aromatic carbocyclic or heterocyclic ring having 5- to 7-members; R20 = each (un)substituted OH, NHOH, or NH2] or pharmaceutically acceptable salts thereof are prepared Also disclosed is a treatment process that comprises administering a contemplated sulfonyl aromatic or heteroarom. ring hydroxamic acid compound in a matrix metalloprotease (MMP) enzyme-inhibiting effective amount to a host having a condition associated with pathol. MMP activity. Thus, thioetherification of 4-phenoxybenzenethiol with 2-fluorobenzaldehyde in the presence of K2CO3 in isopropanol under reflux for 20 h gave 2-(4-phenoxyphenylthio)benzaldehyde which was condensed with tetra-Et dimethylaminomethylenediphosphonate in the presence of NaH in THF at room temperature for 4 h gave to 2-[2-(4phenoxyphenylthio)phenyl]acetic acid (II). II was oxidized by H2O2 in acetic acid to 2-[2-(4-phenoxyphenylsulfonyl)phenyl]acetic acid which was condensed with O-tetrahydropyranylhydroxylamine using 1-ethyl-3-(3dimethylaminopropyl)carbodiimide hydrochloride in MeCN followed by treatment with p-toluenesulfonic acid in methanol at room temperature for 2 h to give Nhydroxy-2-[2-(4- phenoxyphenylsulfonyl)phenyl]acetamide (III). III and Nhydroxy-2,3-dimethoxy-6-[[4-[4-(trifluoromethy1)phenoxy]-1piperidinyl]sulfonyl]benzamide showed IC50 of >10,000 nM against MMP-1, 0.3 and 2.4 nM, resp., against MMP-2, and 2 and 2.7 nM, resp., against MMP-13. REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:591152 CAPLUS Full-text

DOCUMENT NUMBER: 139:149539

TITLE: Preparation of 7-sulfonyl-3-benzazepine derivatives as

modulators of the dopamine receptor for use in pharmaceutical compositions for the treatment of

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

central nervous system (CNS) disorders

INVENTOR(S): Ahmed, Mahmood; Bromidge, Steven Mark; Forbes, Ian Thomson; Gribble, Andrew Derrick; Johnson, Christopher

Norbert; King, Francis David; Lightfoot, Andrew P.; Macdonald, Gregor James; Moss, Stephen Frederick;

Thompson, Mervyn; Witty, David R.

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
WO	2003	0622	 05		A1	_	2003	0731		WO 2	002-	EP14	824		2	 0021	220 <
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		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
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		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG		
EP	1456	178			A1		2004	0915		EP 2	002-	7967.	52		2	0021	220
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		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
JP	2005	5184	14		Τ		2005	0623		JP 2	003-	5620	87		2	0021	220
US	US 20050176759				A1		2005	0811		US 2	004-	4997	76		2	0040	621
RIORIT	ORITY APPLN. INFO.:									GB 2	001-	3070.	2		A 2	0011	221
										GB 2	002-	1239	8		A 2	0020	529
										WO 2	002-	EP14	824	1	W 2	0021	220
THER SO	OURCE	(S):			MARI	PAT	139:	14953	39								

OTHER SOURCE(S): MARPAT 139:149539

GΙ

AB Sulfonylbenzazepines, such as I [R = aryl, biaryl; R1 = H, alkyl; R2 = H, OH, CN, NO2 CF3, OCF3, alkyl, alkyoxy, alkanoyl, cycloalkyl, alkylsulfonyl, alkylthio, carbamoyl, sulfamoyl, etc.], were prepared for therapeutic use modulating dopamine receptors. These benzazepines are useful for the treatment or prophylaxis of CNS or psychotic disorders, such as depression, anxiety, Alzheimer's disease, age related cognitive decline, ADHD, obesity, mild cognitive impairment, schizophrenia, Parkinson's disease, substance abuse, dyskinetic disorders, bipolar disorder, sexual dysfunction, sleep disorders, emesis, movement disorders, obsessive-compulsive disorders, amnesia, aggression, autism, vertigo, dementia and circadian rhythm disorders. Thus benzazepine derivative II was prepared by reaction of 2,3,4,5-tetrahydro-3-(trifluoroacetyl)-1H-3- benzazepine-7-sulfonyl fluoride with 2-methyl-5-bromoaniline using t-BuLi in THF. The prepared benzazepines were tested for receptor binding activity for dopamine D2 and D3, 5-hydroxytryptamine 5-HT6,

5-HT2A, and 5-HT2C cloned human receptors and showed selectivity for the D2/D3 receptors.

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:473266 CAPLUS Full-text

DOCUMENT NUMBER: 139:30862

Use of retinoid receptor antagonists or agonists in TITLE:

the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S.

> Ser. No. 464,344. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

	TENT				KINI		DATE			APPL						ATE		
US US	2003 6313	0114 168	482		A1 B1		2003 2001	0619 1106		US 2 US 1	000- 999-	5528 4643	23 44		2 1	0000 9991	420 215	
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	RITY APPLN. INFO.:									US 2								
										EP 2								
										WO 2								
OTHER SO	OURCE	(S):			MARI	PAT	139:	3086								- 0 - 0		

AΒ The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists.

ACCESSION NUMBER: 2003:319918 CAPLUS Full-text

DOCUMENT NUMBER: 138:338316

Preparation of pelorol derivatives as SHIP 1 TITLE:

modulators

Andersen, Raymond; Williams, David E.; Mui, Alice; INVENTOR(S):

Ong, Christopher; Krystal, Gerald

KIND DATE APPLICATION NO. DATE

PATENT ASSIGNEE(S): The University of British Columbia, Can.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

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                        A1 20041230
A1 20080417
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US 2001-329506P P 20011017

AU 2002-331507 A3 20021017

WO 2002-CA1550 W 20021017

WO 2003-CA571 W 20030423
PRIORITY APPLN. INFO.:
                                            US 2004–825858 A3 20040416
OTHER SOURCE(S): MARPAT 138:338316
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AB The present invention includes the use of pelorol and related sesquiterpene compds., e.g. of formula I [Y = CH2, CH2CH2; R1-R4 = H, OH, alkoxy, alkoxycarbonyl, CH2OH, etc.], as modulators of SHIP 1 activity. This invention also provides novel sesquiterpene compds. capable of modulating SHIP 1 activity and methods of synthesis thereof. No examples are given. The effect of pelorol on macrophage nitric oxide production is measured.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:282399 CAPLUS <u>Full-text</u>

Ι

DOCUMENT NUMBER: 138:304302

TITLE: Preparation of amidine-substituted polycyclic compound

prodrugs useful for selective inhibition of serine

proteases of the coagulation cascade

INVENTOR(S): South, Michael S.; Webber, Ronald K.; Huang,

Horng-chih; Toth, Mihaly V.; Moormann, Alan E.; Snyder, Jeffrey S.; Scholten, Jeffrey A.; Garland, Danny J.; Rueppel, Melvin L.; Neumann, William L.; Long, Scott; Wei, Huang; Trujillo, John; Parlow, John

J.; Jones, Darin E.; Case, Brenda; Hayes, Michael J.;

Zeng, Qingping

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 547 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION 1	7O.		D	ATE	
WO 2003				A2 A3		 2003 2004			WO 2	002-	US31	468		2	0021	003 <
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US 2003	0162	776		A1		2003	0828		US 2	002-	2639.	36		2	0021	003 <

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PRIORITY APPLN. INFO.:
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                                                           W 20021003
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                                          WO 2002-US31770
OTHER SOURCE(S):
                      MARPAT 138:304302
GΙ
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## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The present invention relates to prodrug compds., comprising a 5- or 6-membered heterocyclic or aromatic ring substituted with a derivatized amidine (shown as I and II; variables defined below; e.g. N-[4-[(Z)-amino[(pyridin-2-ylmethoxy)imino]methyl]benzyl]-2-[6-[3-amino-5- (trifluoromethyl)phenyl]-3- (isopropylamino)-2-oxopyrazin-1(2H)- yl]acetamide (shown as III)), as well as compns. and methods useful for preventing and treating thrombotic conditions in mammals. The prodrug compds. of the present invention selectively inhibit certain serine proteases of the coagulation cascade (no data). For I: X = 5-

or 6-membered heterocyclic or aromatic ring, the ring atoms being X1, X2, X3, X4, and X5 for 5-membered heterocyclic rings and X1, X2, X3, X4, X5 and X6 for 6-membered heterocyclic or aromatic rings, wherein X2 is alpha to each of X1 and X3, X3 is alpha to each of X2 and X4, X4 is alpha to each of X3 and X5, X5 is alpha to X4 and alpha to X1 if X is a 5-membered ring or to X6 if X is a 6membered ring, and X6, when present, is alpha to each of X1 and X5, wherein X1, X2, X3, X4, X5 and X6 are C, N, O or S. L1, L3 and L4 are linkages through which Z1, Z3, and Z4, resp., are covalently bonded to different ring atoms of the 5- or 6-membered heterocyclic or aromatic ring of X, wherein Z1 is covalently bonded to X1, Z3 is covalently bonded to X3, and Z4 is covalently bonded to X4, each of L1, L3 and L4 independently being a covalent bond or comprising ≥1 atoms through which Z1, Z3, and Z4 are covalently bonded to X1, X3 and X4, resp. Z1 is hydrocarbyl or substituted hydrocarbyl; Z3 = 5or 6-membered heterocyclic or aromatic ring substituted with a derivatized amidine which, upon hydrolysis, oxidation, reduction or elimination yields an amidine group, and optionally further substituted with a halogen or hydroxy, the ring atoms of the 5- or 6-membered heterocyclic or aromatic ring of Z3 being C, S, N, or O. Z4 = 5- or 6-membered heterocyclic or carbocyclic ring having two substituents, R42 and R44, and two ring atoms each of which is in the beta position relative to the ring atom of Z4 through which Z4 is covalently bonded to X, wherein one of R42 and R44 is covalently bonded to one of said beta positions and the other of R42 and R44 is covalently bonded to the other of said beta positions, the ring atoms of the 5- or 6-membered heterocyclic or carbocyclic ring of Z4 being C, N, O, or S. R42 is amino; and R44 = H, hydrocarbyl, substituted hydrocarbyl, heterocyclo, halogen, or a (un) substituted heteroatom = N, O, S and P; provided, however, the derivatized amidine is other than amidine derivatized with tert-butoxycarbonyl. For II: each of X1, X2, X3, X4, X5 and X6 is C or N; X2 is a H bond acceptor; X9 is a direct bond or -(CH2)m- where m is 1 to 5. The metabolic stability and/or bioavailability of .apprx.20 examples of I/II are tabulated. Although the methods of preparation are not claimed, .apprx.160 example prepns. are included.

L6 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:150646 CAPLUS Full-text

DOCUMENT NUMBER: 138:195820

TITLE: Rinse-processing composition for processing silver

halide color photographic material, processing

apparatus and processing method

INVENTOR(S): Seki, Hioyuki

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1286214	A1	20030226	EP 2002-18919	20020823 <
EP 1286214	В1	20080312		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, LU, NL,	SE, MC, PT,
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US 20040043340	A1	20040304	US 2002-226180	20020823
US 7163783	B2	20070116		
PRIORITY APPLN. INFO.:			JP 2001-253095	A 20010823
			US 2002-226180	Г 20020823
OTUED COMPORE/C).	млррлт	130.105020		

OTHER SOURCE(S): MARPAT 138:195820

AB A rinse-processing composition of the present invention comprises a compound represented by R-(OC2H4)n-OH, (R=C8-13 alkyl; n=10-30), but comprises neither aldehyde compds. nor hexamethylenetetramine derivs. The present invention relates to a processing method and a processing apparatus using such a rinse-processing composition

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:129387 CAPLUS Full-text

DOCUMENT NUMBER: 138:164054

TITLE: Methods and compounds for the use of retinoic acid

antagonists and inverse agonists as male

anti-fertility agents

INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna,

Roshantha A.

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 405,748,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: Fatent English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6521641	B1	20030218	US 2000-591253		20000609 <
US 20030144256	A1	20030731	US 2002-304665		20021125 <
US 20070054882	A1	20070308	US 2006-503635		20060814
PRIORITY APPLN. INFO.:			US 1998-103507P	P	19981008
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			US 2000-591253	A1	20000609
			US 2002-304665	В1	20021125

OTHER SOURCE(S): MARPAT 138:164054

AB This continuation—in—part patent claims methods and compds. for the inhibition or prevention of spermatogenesis in a male mammal. The compds. claimed are antagonists or inverse agonists inhibiting the transcriptional activation of retinoic receptors RAR $\alpha$ , RAR $\beta$  and/or RAR $\gamma$ . Methods for the use of those compds. as anti-fertility agents to reduce or eliminate spermatozoa in the semen of male mammals to prevent conception are claimed.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:964331 CAPLUS Full-text

DOCUMENT NUMBER: 138:28938

TITLE: Dyeing composition for keratinous fibers comprising a

particular dicationic diazo dye

INVENTOR(S):
Vidal, Laurent; David, Herve

PATENT ASSIGNEE(S): L'oreal, Fr.

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2002100834
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                                          WO 2002-FR1980
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PRIORITY APPLN. INFO.:
                                           FR 2001-7613
                                                              A 20010611
                                           WO 2002-FR1980
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OTHER SOURCE(S):
                        MARPAT 138:28938
     The invention concerns a dyeing composition for dyeing keratinous fibers, in
     particular human keratinous fibers and more particularly hair, comprising a
     dicationic diazo dye as well as the dyeing method using same. Synthetic
     preparation of dicationic diazo dyes are described.
REFERENCE COUNT:
                        9
                              THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 11 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
                        2002:868719 CAPLUS Full-text
ACCESSION NUMBER:
DOCUMENT NUMBER:
                        137:346211
TITLE:
                        Methods of treating hyperlipidemia by using retinoids
                        as antagonists or inverse agonist of a retinoid
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receptor

Yuan, Yang-Dar; Thacher, Scott M.; Klein, Elliot S.; INVENTOR(S):

Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan, Inc., USA SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT 1	. O <i>l</i> .			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
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WO 20020	0897	81		A2		2002	1114		WO 2	002-	US13.	253		2	0020	426 <
WO 20020	0897	81		А3		2003	0327									
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RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,

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    US 20020193403
                    A1 20021219 US 2001-848159
                                                                 20010503 <--
                             20021114 CA 2002-2445504
20021118 AU 2002-259030
    CA 2445504
                        A1
                                                                 20020426 <--
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                       A1
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                            20040303 EP 2002-729013
    EP 1392284
                        A2
                                                                 20020426
    EP 1392284
                              20080827
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    JP 2004532239 T 20041021 JP 2002-586918
                                                                 20020426
    EP 1920771
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                                         EP 2007-22682
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                        A3 20080723
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    AT 406159
                             20080915
                                         AT 2002-729013
                       A1 20050804
A1 20080904
    US 20050171151
US 20080214652
                                          US 2004-16534
                                                                 20041217
                                           US 2008-72629
                                                                 20080227
                                          US 2008-72629 20080227

US 2001-848159 A 20010503

EP 2002-729013 A3 20020426

WO 2002-US13253 W 20020426

US 2004-16534 B1 20041217
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                        MARPAT 137:346211
     The current invention relates to methods for treating hyperlipidemia in
     mammals, including humans. More specifically, the current invention relates
     to the use of retinoid or retinoid derivative that is able to act as an
     antagonist or inverse agonist of a retinoid receptor to treat hyperlipidemia.
REFERENCE COUNT:
                        3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 12 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:849441 CAPLUS Full-text
DOCUMENT NUMBER:
                        137:353048
TITLE:
                       Combinations of pyridazinone aldose reductase
                       inhibitors and cyclooxygenase-2 inhibitors
                       Mylari, Banavara Lakshman
INVENTOR(S):
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
                       PCT Int. Appl., 101 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                       Patent
LANGUAGE:
                       English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO.
                      KIND DATE APPLICATION NO.
                       ____
                                          _____
    _____
                             20021107 WO 2002-IB643
    WO 2002087584
                        A1
                                                                20020225 <--
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            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

20021111 AU 2002-236131

20021107 CA 2002-2445871 20020225 <--

20020225 <--

20020225

CA 2445871 A1

A1

B2 20050414 HU 2003003920 A2 20040301 HU 2003-3920

AU 2002236131

AU 2002236131

HU	2003	00392	20		АЗ	4	2004	0728											
EP	1392	310			A1	2	2004	0303	Ε	ΞP	200	2-	7026	11			2002	022	5
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	₹, I	ΙΤ,	LI,	LU,	NL,	SE	E, MC	, P	Τ,
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CN	1505	514			Α	4	2004	0616	(	CN	200	2-8	3090.	37			2002	022	5
JP	2004	5283	44		Τ	4	2004	0916	Ċ	JΡ	200	2-!	5849	29			2002	022	5
NZ	5281	50			Α	2	2005	0324	1	$^{1}Z$	200	2-5	5281	50			2002	022	5
TW	2284	15			В	2	2005	0301	-	ΓW	200	2-9	9110	4376			2002	030	8
US	2005	0004	124		A1	2	2005	0106	Ţ	JS	200	2-1	1374	72			2002	043	0
ZA	2003	00720	04		Α	2	2004	0915	2	ZA	200	3-	7204				2003	091	5
US	2004	0198	740		A1	2	2004	1007	Ţ	JS	200	4-8	3108	80			2004	032	5
PRIORITY	Y APP	LN.	INFO	.:					Ţ	JS	200	1 - 2	2875.	24P		Ρ	2001	043	0
									V	VΟ	200	2-1	IB64.	3	,	W	2002	022	5
									Ţ	JS	200	2-1	1374	72		А3	2002	043	0

OTHER SOURCE(S): MARPAT 137:353048

GΙ

$$0 = \underbrace{\begin{array}{c} NH-N \\ R1 \end{array}}_{R2} AR^3$$

AB Pharmaceutical compns. and kits comprise pyridazinones I [A = S, S(O), SO2; R1, R2 = H, Me; R3 = heterocyclic, heterocyclylalkyl, amino, CH2CH(OH)Ar, CH2COAr, arylamino, aralkyl; Ar = (un)substituted Ph, naphthyl] and cyclooxygenase-2 inhibitors for treatment or prevention of certain complications arising from diabetes mellitus and cardiac tissue ischemia in mammals (no data). Thus, 2-mercaptoindole was treated with 2-chloro-6-methoxypyridazine, followed by oxidation to the sulfone and demethylation to give 6-(indole-2-sulfonyl)-2H-pyridazin-3-one.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:793584 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 137:310696

TITLE: Preparation of N-hydroxyphenylacetamides as peptide

deformylase inhibitors

INVENTOR(S): Bhat, Ajita; Christensen, Siegfried B., IV; Frazee,

James S.; Head, Martha S.; Leber, Jack Dale; Li, Mei

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	I NOI	. O		D	ATE		
						_												
WO	2002	0814	26		A1		2002	1017		WO 2	002-	JS10.	506		2	0020	404 <	<
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO.	CR.	CU.	CZ.	DE.	DK.	DM.	D7.	EC.	EE.	ES.	FT.	GB.	GD.	GE.	GH.	

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002252585 A1 20021021 AU 2002-252585 20020404 <--EP 1383729 Α1 20040128 EP 2002-721667 20020404 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR Τ 20040909 JP 2002-579414 JP 2004527530 20020404 US 2003-473104 US 20040267015 Α1 20041230 20030929 PRIORITY APPLN. INFO.: US 2001-281613P P 20010405 WO 2002-US10506 W 20020404 OTHER SOURCE(S): MARPAT 137:310696

R3
ONH OH

GΙ

AB PDF inhibitors I [X = CO2(C1-6-alkyl), OR1, NR1R6, CONR1R6, C(:O)R6; R1 = H, (un)substituted C1-6-alkyl, Ar-(C1-6-alkyl); R1R6 = 5- or 6-membered cyclic system which may contain an O or (un)substituted N; R2 = I, Br, Cl, CHMe2, CMe3; R3 = H, I, Br, Cl, CHMe2, CMe3, ZR8; Z = O, N, NC(:O), C(:O)N, SO2N, CONHSO2, CH2; R6 = H, Me; R8 = (un)substituted C1-4-alkyl; Ar = (un)substituted Ph, furyl, pyridyl, thienyl, thiazolyl, isothiazolyl, pyrazolyl, tetrazolyl, imidazolyl, benzofuranyl, indolyl, thiazolidinyl, isoxazolyl, oxadiazolyl, thiadiazolyl, pyrrolyl, pyrimidinyl] and novel methods for their use are provided. Thus, I (X = OC6H4OH, R2 = R3 = I) was prepared from 3,5-diiodothyroacetic acid via esterification with MeOH containing H2SO4 followed by amidation with NH2OH in aqueous dioxane. I was tested for PDF inhibition and antimicrobial activity (MIC = 0.06 - 64 mcg/mL).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:755213 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 137:279206

TITLE: Preparation of sulfenyl, sulfinyl and sulfonyl pyridazinone aldose reductase inhibitors for

treating/preventing diabetic complications

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

INVENTOR(S): Mylari, Banavara L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 39 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

PA:	TENT NO.		KIND	DATE	APPLICATION NO. DATE
	20020143017 6579879			20021003 20030617	US 2002-104664 20020321 <
CA	2442476 2002079198		A1 A1	20021010 20021010	CA 2002-2442476 20020131 < WO 2002-IB320 20020131 <
	CO, CR,	CU,	CZ, DE	, DK, DM,	BA, BB, BG, BR, BY, BZ, CA, CH, CN, DZ, EC, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
	LS, LT,	LU,	LV, MA	, MD, MG,	MK, MN, MW, MX, MZ, NO, NZ, OM, PH, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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	2002226634 2002226634		В2	20070125	AU 2002-226634 20020131 <
EP	1373259 1373259		A1	20040102 20041229	EP 2002-716247 20020131
	IE, SI,	LT,	LV, FI	, RO, MK,	GB, GR, IT, LI, LU, NL, SE, MC, PT, CY, AL, TR
HU	2003003644		A2	20040301	EE 2003-470 20020131 HU 2003-3644 20020131
BR	2003003644 2002008571		A	20040323	BR 2002-8571 20020131
CN	1500087		A	20040526	NZ 2002-528406 20020131 CN 2002-807600 20020131
	1215067 2004528319 1491540		T A1	20030017	JP 2002-577823 20020131 EP 2004-23149 20020131
	1491540		B1	20061213	GB, GR, IT, LI, LU, NL, SE, MC, PT,
EP	IE, SI, 1491541	LT,			CY, AL, TR EP 2004-23150 20020131
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	286049	LT,	T	20050115	CY, AL, TR AT 2002-716247 20020131
ES	1373259 2231681		Т3	20050516	PT 2002-716247 20020131 ES 2002-716247 20020131
AT	60202452 348100		C5 T	20061123 20070115	DE 2002-60202452 20020131 AT 2004-23149 20020131
ES	352551 2274369 245762		T T3 B	20070215 20070516 20051221	AT 2004-23150 20020131 ES 2004-23149 20020131 TW 2002-91106386 20020329
US	20030162784 6849629		A1 B2	20031221 20030828 20050201	US 2003-370895 20030220 <
ZA	2003004671 2003MN00639		A A	20040625 20050318	ZA 2003-4671 20030617 IN 2003-MN639 20030624
	108179 2003004345		A A	20040930 20030929	BG 2003-108179 20030917 NO 2003-4345 20030929 <
HK	2003008850 1061678		A A1	20031204 20051104	MX 2003-8850 20030929 < HK 2004-104538 20040624
	20050113381 APPLN. INFO	.:	A1	20050526	US 2004-968759 20041018 US 2001-280051P P 20010330 EP 2002-716247 A3 20020131

US 2002-104664 A3 20020321 US 2003-370895 A3 20030220

OTHER SOURCE(S): MARPAT 137:279206

GΙ

AΒ The present invention relates to novel pyridazinone compds. (shown as I; variables partially described below; e.g. 6-(2-indolylsulfonyl)-2H-pyridazin-3-one), pharmaceutical compns. comprising those compds. and to methods of using such compds. and compns. to inhibit aldose reductase, lower sorbitol levels and, thus, lower fructose levels, and/or treat or prevent diabetic complications such as diabetic neuropathy, diabetic retinopathy, diabetic nephropathy, diabetic cardiomyopathy, diabetic microangiopathy and diabetic macroangiopathy in mammals. This invention also relates to methods of affording cardioprotection to subjects not suffering from diabetes. This invention also relates to pharmaceutical compns. and kits comprising a combination of an aldose reductase inhibitor (ARI) of this invention and a sorbitol dehydrogenase inhibitor and to methods of using such compns. or kits to treat or prevent the above diabetic complications in mammals. This invention also relates to other combinations with the ARIs of this invention, including combinations with adenosine agonists; NHE-1 inhibitors; glycogen phosphorylase inhibitors; selective serotonin reuptake inhibitors; GABA agonists; antihypertensive agents; 3-hydroxy-3-methylglutaryl CoA reductase inhibitors; phosphodiesterase-5 inhibitors; and to glucose lowering agents. In I, A is S, SO or SO2; R1 and R2 are each independently H or Me; R3 is heteroaryl, -CHR4(heteroaryl) or NR6R7; R4 is H or (C1-C3)alkyl; R6 is (C1-C6)alkyl, aryl or heteroaryl; R7 is heteroaryl. No pharmacol. data is included. Although the methods of preparation are not claimed, .apprx.50 example prepns. are included.

L6 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:798081 CAPLUS Full-text

DOCUMENT NUMBER: 135:339297

TITLE: Use of retinoid receptor antagonists or agonists in

the treatment of cartilage and bone pathologies Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001080894	A2	20011101	WO 2001-US12742	20010419 <
WO 2001080894	A3	20020725		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 20030114482 A1 20030619 US 2000-552823
                                                                 20000420 <--
                               20011101 CA 2001-2407021
     CA 2407021
                         Α1
                                                                  20010419 <--
    EP 1274456
                               20030115 EP 2001-928654
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                               20041229
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     JP 2003531180
                               20031021 JP 2001-577990
                                                                  20010419 <--
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                              20050115
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                        B2 20060727 AU 2001-255488
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    HK 1053053 A1 20050610
AU 2006233216 A1 20061116
                                          HK 2003-105084
                                                                  20030714
                                           AU 2006-233216 20061027

US 2000-552823 A 20000420

US 1999-464344 A2 19991215

WO 2001-US12742 W 20010419
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                        MARPAT 135:339297
AΒ
     The present invention relates to methods for treating cartilage and bone
     pathologies, including bone growth related diseases such as osteoarthritis or
     osteoporosis, comprising administering therapeutically effective amts. of
     retinoid receptor antagonists or retinoid receptor agonists.
REFERENCE COUNT:
                        8
                              THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 16 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                       2001:693315 CAPLUS Full-text
DOCUMENT NUMBER:
                        135:242245
TITLE:
                        Preparation of
                         6-aminoalkyl-2-heterocyclyl-4-phenyldihydropyrimidine-
                         5-carboxylates as antiviral agents for treatment of
                        hepatitis B infection.
                        Goldmann, Siegfried; Stoltefuss, Juergen; Niewoehner,
INVENTOR(S):
                        Ulrich; Schlemmer, Karl-Heinz; Keldenich, Joerg;
                        Paessens, Arnold; Graef, Erwin; Weber, Olaf; Deres,
                        Karl
PATENT ASSIGNEE(S):
                        Bayer Aktiengesellschaft, Germany
SOURCE:
                        PCT Int. Appl., 85 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        German
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                       KIND DATE APPLICATION NO. DATE
                        A1 20010920 WO 2001-EP2443 20010305 <--
     WO 2001068641
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
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VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 10013126 A1 20010920 DE 2000-10013126 20000317 <--PRIORITY APPLN. INFO.: DE 2000-10013126 A 20000317

OTHER SOURCE(S): MARPAT 135:242245

GT

AΒ Title compds. I and II [R1 = (substituted) pyridyl, pyrimidyl, pyrazinyl, thiazolyl; R2 = (substituted) aryl, heteroaryl; R3 = (substituted) (O-, Sinterrupted) alkyl; R4 = (substituted) alkyl, aryl, heteroaryl, etc.; R5 = H, (substituted) (interrupted) alkyl, heteroaryl, etc.; R4R5 = (substituted) (interrupted) cycloalkyl, etc.; X = (substituted) (O-interrupted) alkylene], were prepared Thus, Me (R)-6-bromomethyl-4-(2-chloro-4-fluorophenyl)-2-(3,5difluoro-2-pyridinyl)- 1,4-dihydropyrimidine-5-carboxylate (preparation given) was stirred with Na2CO3 and 1-cyclopropylpiperazine dihydrochloride in MeOH for 2 h at room temperature to give 87.6% Me (R)-4-(2-chloro-4-fluorophenyl)-6-[(4-cyclopropyl-1- piperazinyl)methyl]-2-(2,3-difluoro-2-pyridinyl)-1,4dihydropyrimidine-5- carboxylate. Several title compds. inhibited intra- or extracellular DNA of hepatitis B virus-producing Hep G2.2.15-cells with inhibited with IC50 =  $0.015-0.08 \mu M$ .

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 17 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN 2001:472731 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 135:61439

Phosphonic acid derivatives as inhibitors of protein TITLE:

tyrosine phosphatase 1B (PTP-1B)

Leblanc, Yves; Dufresne, Claude; Gauthier, Jacques INVENTOR(S):

Yves; Lau, Cheuk Kun; Li, Chun Sing; Roy, Patrick;

Therien, Michel; Scheigetz, John; Wang, Zhaoyin

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

PCT Int. Appl., 101 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046206	A1	20010628	WO 2000-CA1550	20001221 <
W: AE, AG,	AL, AM, AT	, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
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HU, ID,	IL, IN, IS	, JP, KE,	KG, KR, KZ, LC, LK,	LR, LS, LT, LU,
LV, MA,	MD, MG, MK	, MN, MW,	MX, MZ, NO, NZ, PL,	PT, RO, RU, SD,
SE, SG,	SI, SK, SI	, TJ, TM,	TR, TT, TZ, UA, UG,	US, UZ, VN, YU,
ZA, ZW				

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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                     BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                20010628 CA 2000-2393367
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                                                                      US 2000-745211
        US 20020058644
                                         Α1
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        US 6486142
                                         В2
                                                    20021126
        EP 1244678
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                                     T 20030603
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                                                                                                              20001221 <--
        JP 2003518130
PRIORITY APPLN. INFO.:
                                                                        US 1999-171520P
                                                                                                      P 19991222
                                                                        WO 2000-CA1550 W 20001221
OTHER SOURCE(S):
                                       MARPAT 135:61439
         Twenty-four antidiabetic and antiobesity title compds. were prepared by
         standard methods. Among the compds. prepared were: 2-bromo-4-[2-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-
         phenyl-1,2,4-oxadiazol-3- yl)ethyl]phenyl(difluoro)methylphosphonic acid and
         [(isopropoxycarbonyl)oxy]methyl hydrogen [2-bromo-4-(3-oxo-2,3-
         diphenyl)phenyl](difluoro)methyl phosphate. The invention also encompasses
         pharmaceutical compns. and methods of treating or preventing PTP-1B mediated
         diseases, including diabetes, obesity, and conditions related to diabetes.
REFERENCE COUNT:
                                                   THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                                         5
                                                   RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
        ANSWER 18 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
                                        2001:452848 CAPLUS Full-text
ACCESSION NUMBER:
                                         135:41045
DOCUMENT NUMBER:
                                        Use of retinoid receptor antagonists in the treatment
TITLE:
                                        of cartilage and bone pathologies
INVENTOR(S):
                                       Pacifici, Maurizio; Chandraratna, Roshantha A.
                                     Allergan Sales, Inc., USA
PATENT ASSIGNEE(S):
                                        PCT Int. Appl., 53 pp.
SOURCE:
                                        CODEN: PIXXD2
DOCUMENT TYPE:
                                        Patent
LANGUAGE:
                                        English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:
        PATENT NO. KIND DATE APPLICATION NO. DATE
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      WO 2001043732
      A2
      20010621

      WO 2001043732
      A3
      20020321

                                                                    WO 2000-US33697 20001213 <--
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                     HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
                     LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
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                     ZA, ZW
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                     BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                                  20011106 US 1999-464344
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                                          Α1
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                                       T 20030617 JP 2001-544671
        JP 2003519103
                                                                                                              20001213 <--
                                        B2 20060216 AU 2001-22593
A1 20060412 EP 2005-24409
        AU 784189
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        EP 1645271
                                                                                                             20001213
               R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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IE, FI, CY, TR

US 1999-464344 A 19991215 EP 2000-986336 A3 20001213 WO 2000-US33697 W 20001213

OTHER SOURCE(S): MARPAT 135:41045

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis, comprising administering therapeutically effective amts. of retinoid receptor antagonists. AG1-X2 ion exchange beads were soaked for 1 h in a solution of 4-[[5,6-dihydro-5,5-dimethyl-8-(4-methylphenyl)-2- naphthalenyl]ethynyl]-benzoic acid (AGN 109) and implanted in the vicinity of the prospective humeral mesenchymal condensation in stage 21-22 chick embryos and determined whether humerus development had been impaired by day 10 in vivo. AGN 109-containing beads showed striking effects on humerus development.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:396864 CAPLUS Full-text

DOCUMENT NUMBER: 135:19632

TITLE: Preparation of pyrazolyl- and pyrrolylalkanoic acid

derivatives with hypoglycemic and hypolipidemic

activity

INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Odaka, Hiroyuki;

Kimura, Hiroyuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 375 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATE	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	. O <i>l</i> .		D.	ATE		
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	W:	ΑE,	AG,	AL,	AM,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CN,	CR,	CU,	
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AU	780948	B2	20050428	AU	2001-13031		20001109	
RU	2252939	C2	20050527	RU	2002-115263		20001109	
CN	1260227	С	20060621	CN	2000-817467		20001109	
NO	2002002108	A	20020708	ИО	2002-2108		20020502	<
MX	2002004647	A	20021031	${\rm MX}$	2002-4647		20020509	<
US	7179823	B1	20070220	US	2002-129702		20020509	
IN	2002KN00645	A	20050311	IN	2002-KN645		20020513	
ZA	2002003824	A	20031015	ZA	2002-3824		20020514	<
HK	1045991	A1	20041210	HK	2002-106297		20020827	
PRIORITY	APPLN. INFO.:			JΡ	1999-320317	Α	19991110	
				JΡ	1999-352237	Α	19991210	
				JΡ	1999-352236	Α	19991210	
				ΕP	2000-974857	A3	20001109	
				JΡ	2000-347462	A3	20001109	
				WO	2000-JP7877	W	20001109	

OTHER SOURCE(S): MARPAT 135:19632

$$x1 - R2$$
  
 $R1 - X - (CH_2)_m - Y - A - (CH_2)_n - B - W - CO - R3$ 

AΒ Title compds. (I) [wherein R1 = (un)substituted hydrocarbon or heterocycle; X = bond, O, S, CO, CS, CR4(OR5), or NR6; R4 and R6 = independently H or (un) substituted hydrocarbon; R5 = H or hydroxyl protective group; m = 0-3; Y =O, S, SO, SO2, NR7, CONR7, or NR7CO; R7 = H or (un)substituted hydrocarbon; A = (un)substituted aromatic ring; n = 1-8; B = (un)substituted N-containing 5membered heterocycle; X1 = bond, O, S, SO, SO2, OSO2, or NR16; R16 = H or (un) substituted hydrocarbon; R2 = H or (un) substituted hydrocarbon or heterocycle; W = bond or hydrocarbon; R3 = OR8 or NR9R10; R8 = H or (un) substituted hydrocarbon; R9 and R10 = independently H or (un) substituted hydrocarbon or heterocycle; or R9 and R10 together with the N to which they are attached may form a ring] were prepared as retinoid-related receptor function regulating agents or insulin resistance improving agents. For example, Et 3-[1-(4-hydroxybenzyl)-4-phenyl-3-pyrrolyl]propionate and 4chloromethyl-5-methyl-2-(2-thienyl)oxazole were coupled in the presence of K2CO3 in DMF and treated with HCl to give II (77%). At a concentration of 0.001%, II reduced hypoglycemic and hypolipidemic action by 48% and 70%, resp., lowered total cholesterol by 16%, and increased the plasma antiarteriosclerosis index by 12% compared to non-treatment groups of mice. In addition, II showed potent PPARy-RXR $\alpha$  heterodimer ligand activity with EC50 of 1.5 nM. I are useful for the prevention or treatment of diabetes mellitus, hyperlipidemia, impaired glucose tolerance, inflammatory diseases, and arteriosclerosis.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:247339 CAPLUS Full-text

DOCUMENT NUMBER: 134:261280

TITLE: Azepinoindolone derivatives as poly(ADP-ribose)

polymerase inhibitors

INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Hoeger, Thomas;

Grandel, Roland; Mueller, Reinhold; Schult, Sabine

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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WO	2001	0233	90		A2		2001	0405									 915 <	
WO	2001	0233	90		A3		2001	1227										
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DE	1003	9610			A1		2002	0228		DE 2	000-	1003	9610		2	0000	809 <	
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OTHER SOURCE(S): MARPAT 134:261280

Enantiomeric and diastereomeric forms and prodrugs of azepinoindolone derivs. such as 2-(4-(4-n-propylpiperazin-1-yl)phenyl)-1,3,4,5-tetrahydro-6H-azepino[5,4,3-c,d]indol-6-one are useful as poly(ADP-ribose) polymerase inhibitors. The effectiveness of the title compds. in inhibiting poly(ADP-ribose) polymerase was demonstrated.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:185763 CAPLUS Full-text

DOCUMENT NUMBER: 134:207967

TITLE: Preparation of electronically tuned ligands

INVENTOR(S):
Busacca, Carl

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	FENT	NO.			KINI	)	DATE			APE	PLICA	IION	NO.		Ι	DATE		
WO	2001				A1	_	2001	0315		WO	2000	-us24	162		2	20000	905	<
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CA	2382	163			A1		2001	0315		CA	2000	-2382	163		2	20000	905	<
US	6316	620			В1		2001	1113		US	2000-	-6551	.15		2	20000	905	<
EP	US 6316620 EP 1218388						2002	0703		ΕP	2000-	-9598	04		2	20000	905	<
EP	1218	388			В1		2004	0128										
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JP	2003	5085	38		T		2003	0304		JΡ	2001	-5222	:35		2	20000	905	<
AT	2585	56			T		2004	0215		ΑT	2000-	-9598	04		2	20000	905	
PT	1218	388			Т		2004	0531		PΤ	2000-	-9598	04		2	20000	905	
ES	2213	600			Т3		2004	0901		ES	2000-	-9598	04		2	20000	905	
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PRIORIT	Y APP	LN.	INFO	. :						US	1999	-1529	09P		P 1	9990	908	
											2000					20000	905	
OTHER SO	HIRCE	(S) ·			MARI	⊃д⊤	134.	2079	57									

OTHER SOURCE(S): MARPAT 134:207967

GΙ

The preparation of phosphino- or arsinoamidines I (M = P, As; X, Y, Z = independently selected from H, alkyl, aryl (pendant or fused), halo, C1-10 alkoxy, cyano, nitro, amino, alkylamino, dialkylamino, CO2H, -CO(lower alkoxy), -CO(lower alkyl), -NCOH, -NCO(lower alkyl), NSO2(alkyl), - NSO2(aryl), hydroxy, alkyl, sulfonoxyalkyl, sulfonoxyaryl, alkoxyalkyl; R1 = H, C1-10 alkyl, branched alkyl or cycloalkyl; aryl, substituted aryl, heteroaryl or substituted heteroaryl where the heteroatoms are N, O, S, acyl, aroyl, substituted aroyl, heteroaroyl, substituted heteroaroyl, or SO2R4; R4 = alkyl, aryl, heteroaryl, substituted aryl, substituted heteroaryl groups in direct attachment, with the provisos that R2 and R3 can be the same or different and are H, aryl, heteroaryl as defined above, substituted aryl or

heteroaryl as defined (with substituents as defined below), alkyl, branched alkyl, cycloalkyl, benzyl, substituted benzyl, with substituents as defined for aryl, or R2 and R3 together may form a fused carbocyclic ring, Ring B is an imidazoline ring or a tetrahydropyrimidine ring), useful as cocatalyst for stereoselective synthesis, is described. Thus, preparation of title ligand cocatalyst 2-(2'-diphenylphosphinophenyl)-3-(2''-naphthoyl)-(4S,5S)-diphenyl-4,5- dihydroimidazole, is described in four steps starting from 2-FC6H4CONH2; the use of prepared ligand as cocatalyst for asym. Heck reaction is also described.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:78220 CAPLUS Full-text

DOCUMENT NUMBER: 134:125939

TITLE: The use of retinoid receptor antagonists in the

treatment of prostate carcinoma

INVENTOR(S): Chandraratna, Roshantha A.; Brown, Geoffrey

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D :	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
WO	2001	0070	28		A2	_	2001	0201		WO 2	000-	US19	849		2	0000	 721 <	(
WO	2001	0070	28		A3		2001	0830										
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		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	
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		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG				
PRIORIT	Y APP	LN.	INFO	.:						US 1	999-	1452	87P		P 19	9990	723	

OTHER SOURCE(S): MARPAT 134:125939

AB Methods for treating prostate cancer comprise administering a therapeutically effective amount of a retinoid receptor antagonist. In addition, the invention provides methods of inhibiting the growth of a prostate carcinoma cell or tumor, the method comprising contacting the cell or tumor with an effective amount of a retinoid receptor antagonist.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:63991 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 134:115959

TITLE: Preparation of novel 4,4-diphenylpiperidines for the treatment of chemokine receptor related diseases and

conditions

INVENTOR(S): Baxter, Andrew John Gilby; Brough, Stephen John;

McInally, Thomas

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	CENT :	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
WO	2001	 0057	82		A1	_	2001	0125		 WO 2	000-	 GB27.	 56		2	0000	718	<
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	
		CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	ΗU,	
		ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	
		SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG				
	2378						2001											
	2000																	
	1202						2002			EP 2	000-	9461.	34		2	0000	718	<
EP	1202						2003											
	R:						ES,			•	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		•	•	•	•	,	RO,	•										
	2003						2003											
	2337				_		2003											
	5166						2003									0000		<
	7713				B2 C		2004						-			0000		
	1152 6566				_		2004				000-					0000		
	2001						2003				000-				_	0000		
	2001				A		2003				001-		-		_	0011		
	2002				A		2002				002-					0020		
	ZUUZ APP				A		2002	0702			999-	-						\
∪1/1 I .	LALE	TT// •	T 1/1 ()	• •							000-					0000		
ER SO	URCE	(S):			MAR	PAT	134:	1159.		,,0 2		JD2 1.	<i>-</i> 0		· · · ·		, 10	

$$\begin{array}{c|c} R1 & & & \\ R2 & & & & \\ N-Y & & & & \\ X & & & & \\ A-R4 & & & & \\ \end{array}$$

$$\begin{array}{c} \text{Ph} \\ \text{Ph} \\ \end{array} \begin{array}{c} \text{N} \\ \text{Ph} \\ \end{array} \begin{array}{c} \text{Ph} \\ \text{II} \end{array}$$

AB The title compds. [I; R1, R2 = (un)substituted Ph; R3 = halo, NO2, alkyl, etc.; n = 0-3; R4 = H, OH, NR10R11; A = CO, CH2, a bond; Q = alkylene; U, W and X = (un)substituted C, N; V = (un)substituted N, O; Y = alkylene, CO; R10,

R11 = H, alkyl, unsatd. alkyl, etc.; NR10R11 = (un)substituted 4-8 membered saturated azacyclic ring] and their pharmaceutically acceptable salts, useful in therapy, especially for the treatment of chemokine receptor related diseases and conditions (no data), were prepared E.g., a 2-step synthesis of 4,4-diphenylpiperidine II was given.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:686089 CAPLUS Full-text

DOCUMENT NUMBER: 133:268546

TITLE: Group VIII metal complexes with phosphinamidite

ligands as catalysts for hydroformylation or

hydrocyanation of olefins

INVENTOR(S): Ahlers, Wolfgang; Maas, Heiko; Roeper, Michael

PATENT ASSIGNEE(S): BASF A.-G., Germany SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	ATENT	NO.			KIN	)	DATE		API	PLICAT	CION	NO.		D.	ATE		
DE	 E 1991	3352			A1	_	2000	0928	DE	 1999-	 -1991	 3352		1	 9990:	324	<
WC	2000	0564	51		A1		2000	0928	WO	2000-	-EP26	10		2	00003	323	<
	W:	CN,	JP,	US													
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI, F	R, GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	
		PT,	SE														
E	2 1163	051			A1		2001	1219	EP	2000-	-9188	32		2	0000	323	<
E	1163	051			В1		2004	1110									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GI	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	FI														
JE	2002	5399	20		Τ		2002	1126	JP	2000-	-6063	45		2	0000	323	<
US	6852	661			В1		2005	0208	US	2001-	-9373	10		2	00109	924	
PRIORIT	TY APP	LN.	INFO	.:					DE	1999-	-1991	3352		A 1	9990:	324	
									WO	2000-	-EP26	10	,	W 2	0000	323	
OTHER (		1/01			MADI	יייי ער	122.	2005	1.0								

OTHER SOURCE(S): MARPAT 133:268546

GΙ

AB Group VIII metal complexes with mono- or multidentate phosphinamidite ligands of specified structure are used as catalysts for hydroformylation or hydrocyanation of olefins, e.g., 1-octene or 3-pentenenitrile. For example, chlorination of biphenyl-2-ol with PCl3 in the presence of ZnCl2 gave 69% 6-chloro-(6H)-dibenz[c,e][1,2]oxaphosphorin. Stirring of the latter with K-metalated pyrrole for 12 h at ambient temperature in THF gave 50% of a title

ligand I. Hydroformylation of 22.5 g 1-octene with synthesis gas (CO/H = 1:1; 40 bar) in the presence of 123 mg (acac)Rh(CO)2 (acacH = acetylacetone) and 680 mg I gave nonanal isomers with 96% selectivity.

L6 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:441769 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 133:73851

TITLE: Preparation of novel herbicidally active benzoyl

derivatives

INVENTOR(S): Schaetzer, Juergen; De Mesmaeker, Alain; Lee, Shy-Fuh

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT :	NO.			KIN	D	DATE		;	APPL	ICAT	ION 1	. O <i>V</i> .		D	ATE		
WO	2000	0374.	37		A1	_	2000	0629	1	 WO 1	999-	 EP10	 128		1	9991	220	<
	W:	ΑE,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
		CZ,	DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	
		IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	
		MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	
		SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW			
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	
		DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG					
BR	9916	396			Α		2001	0911		BR 1	999-	1639	6		1	9991	220	<
EP	1140	811			A1		2001	1010		EP 1	999-	9635	84		1	9991	220	<
EP	1140	811			В1		2006	0802										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	CY										
AT	3349	61			Τ		2006	0815		AT 1	999-	9635	84		1	9991	220	
CN	1003	8631.	3		С		2008	0507	(	CN 1	999-	8148	85		1	9991	220	
US	2002	0165	096		A1		2002	1107	1	US 2	001 -	8868	96		2	0010	621	<
US	6599	861			В2		2003	0729										
US	2003	0236	167		A1		2003	1225	1	US 2	003-	4549	66		2	0030	605	<
US	7265	230			В2		2007	0904										
US	2007	0265	165		A1		2007	1115	1	US 2	007-	8285	98		2	0070	726	
IORIT:	Y APP	LN.	INFO	.:					(	CH 1	998-	2521			A 1	9981	221	
									1	WO 1	999-	EP10	128		W 1	9991	220	
									1	US 2	001-	8868	96		A3 2	0010	621	
									1	US 2	003-	4549	66		A3 2	0030	605	
HER SO	NIRCE.	(S) ·			MARI	PAT	133.	7385	1									

OTHER SOURCE(S): MARPAT 133:73851

GΙ

$$Q \xrightarrow{0} R^{1} X$$

$$R^{2}$$

AΒ The title compds. [I; X = CH2OMe, CH2OEt, CH2OH, etc.; R1, R2 = halo, CN, NO2, etc.; R3 = H, alkyl, halo; Q = 5.6-dihydro-5-hydroxy-3-oxo-2.6.6-trimethyl-2H-[1,2]oxazin-4-yl, 4-hydroxy-2-oxo-bicyclo[3.2.1]oct-3-en-3-yl, etc.] which are eminently suitable for use as herbicides, were prepared E.g., a 2-step synthesis of I [X = CH2OMe; R1 = Me; R2 = SO2Me; R3 = H; Q = 5,6-dihydro-5hydroxy-3-oxo-2,6,6-trimethyl-2H-[1,2]oxazin-4-yl] which showed good herbicidal action against Setaria and Cyperus in pre-emergent and postemergent action tests at 2000 g AS/ha, was given.

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 26 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN 1.6 ACCESSION NUMBER: 2000:240931 CAPLUS Full-text

DOCUMENT NUMBER: 132:274821

TITLE: Male antifertility agents

Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna, INVENTOR(S):

Roshantha A.

Allergan Sales, Inc., USA PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

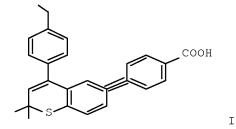
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA.	TENT N	10.			KIN	D	DATE	i I	API	PLICAT	ION I	D.	DATE				
WO	20000	1999	90		A2	_	2000	0413	WO	 1999-	US22	 222	19990924 <				
WO 2000019990					A3 20000			00720									
	W:	ΑU,	CA,	JΡ													
	RW:	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FI, F	R, GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	
		PT,	SE														
CA	23466	87			A1		2000	0413	CA	1999-	2346	687		1	99909	924	<
AU	AU 9961623				Α		2000	0426	AU	1999-	61623	3		19990924 <			
AU	75744	8			В2		2003	0220									
EP 1119350				A2		2001	0801	EP	1999-	9484	51		1	99909	924	<	
EP	11193	50			В1		2005	0223									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GE	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	FΙ														
JP 2002526405					Τ		2002	0820	JP	2000-	5733	51		1	99909	924	<
AT	28950	7			Τ		2005	0315	AT	1999-	9484	51		1	99909	924	
ORIT	ORITY APPLN. INFO.:								US	1998-	10350	07P		P 1	99810	800	
									WO	1999-	US222	222	1	W 1	99909	924	
IEB SO	TIRCE (	91.			MZDI	РΔТ	132.	2748	21								

OTHER SOURCE(S): MARPAT 132:274821

GΙ



AB Methods and compns. for inhibiting or preventing spermatogenesis in a male mammal are disclosed. AGN 194310 (I) was prepared and orally administered to rats and was not toxic and expts. showed that daily oral delivery of this RAR antagonist was sufficient to cause spermatogenic arrest.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:84792 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 132:122612

TITLE: Preparation of benzoxazole derivatives for inhibiting

the interaction between VCAM-1 and/or fibronectin and

the integrin receptor VLA-4

INVENTOR(S): Brittain, David Robert; Johnstone, Craig; Davies,

Gareth Morse; Large, Michael Stewart

PATENT ASSIGNEE(S): Zeneca Limited, UK SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	TENT	NO.			KIND DATE					APPL	ICAT	ION 1	DATE						
WO	2000	0052	24		A2		20000203		WO 1999-GB2342						19990720 <				
	W: AE, AL, AN			AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,		
		DE,	DK,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,		
		JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,		
		MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,		
		TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW							
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,		
		ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,		
		CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG							
AU	9950530				Α	20000214				AU 19	999-		19990720 <						
EP	1144	393			A2		2001	1017	EP 1999-934897						19990720 <				
EP	1144393				A3	A3 20020911													
EP	1144393				B1 20040211														
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	FΙ																
JP	P 2002521376 T 259359						2002	0716	JP 2000-561180						19990720 <				
AT						T 20040215			AT 1999-934897						19990720				
US	US 6441012					20020827				US 2001-744331					20010123 <				
PRIORIT	RIORITY APPLN. INFO.:								1	GB 19	998-		A 1	9980	723				
									1	GB 1998-15973					A 19980723				

OTHER SOURCE(S): MARPAT 132:122612

GΙ

The title compds. [I; A = (un)substituted bicyclic heteroaryl; B = linker group connecting group A to group D and comprising (un)substituted 3 or 4 atom linker where each atom is independently selected from C, O, N and S; C = (un)substituted aryl, mono or bicyclic heteroaryl; D = (un)substituted aryl, heteroaryl; R1 = H, alkyl, alkanoyl, alkoxycarbonyl; R2-R5 = H, alkyl, (un)substituted aryl, etc.; two of R2-R5 can be taken together to form a 3-7 membered ring; R6 = acidic functional group; r, s = 0-1 with the proviso that r and s cannot both be 0], useful for treating multiple sclerosis, rheumatoid arthritis, asthma, coronary artery disease, psoriasis, atherosclerosis, transplant rejection, inflammatory bowel disease, insulin-dependent diabetes and glomerulonephritis, were prepared E.g., a multi-step synthesis of benzoxazole II was given. Compds. I are effective at 0.1-15 mg/kg/day.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:784149 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 132:36180

TITLE: Macromolecular photoinitiators and their applications INVENTOR(S): Asakura, Toshikage; Ohwa, Masaki; Yamato, Hitoshi;

Tatsumi, Asako

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.					KIND		DATE			APPL	ICAT	DATE							
WO 9962961			A1		1999	1209	•	WO 1999-EP3458						19990520 <					
		W:	ΑE,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	
			DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	
			JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	
			MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	
			TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW						
		RW:	GH.	GM.	KE.	LS.	MW.	SD.	SL.	SZ.	UG.	ZW.	AT,	BE.	CH.	CY.	DE.	DK.	

ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9943639 Α 19991220 AU 1999-43639 19990520 <--EP 1086145 20010328 EP 1999-926340 19990520 <--Α1 EP 1086145 20040512 В1 R: CH, DE, FR, GB, IT, LI JP 2002517522 Т 20020618 JP 2000-552170 19990520 <--US 6458864 В1 20021001 US 2000-701457 20001127 <--PRIORITY APPLN. INFO.: EP 1998-810501 A 19980529 WO 1999-EP3458 W 19990520 OTHER SOURCE(S): MARPAT 132:36180

GΙ

AΒ The title photoinitiators are prepared by thermal polymerization of a monomer and a photoinitiator containing a chain transfer group. The macrophotoinitiators are polymerized photochem. to give block copolymers. A photoinitiator prepared from I and methacrylic acid was polymerized with styrene using UV irradiation to give a block copolymer.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 29 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN 1.6 1998:392757 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 129:68148

ORIGINAL REFERENCE NO.: 129:14150h,14151a

TITLE:  $\alpha$ -aminoacetophenones as photoinitiators

INVENTOR(S): Ohwa, Masaki; Yamoto, Hitoshi; Birbaum, Jean-Luc; Nakashima, Hiroko; Matsumoto, Akira; Oka, Hidetaka

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.

Ger. Offen., 46 pp. SOURCE:

CODEN: GWXXBX DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19753655	A1	19980610	DE 1997-19753655	19971203 <
DE 19753655	В4	20080515		
IN 1997DE03201	A	20090313	IN 1997-DE3201	19971107
TW 452575	В	20010901	TW 1997-86116781	19971108 <
GB 2320027	A	19980610	GB 1997-23965	19971114 <
GB 2320027	В	20010509		
SG 73482	A1	20000620	SG 1997-4082	19971118 <
CH 692422	A5	20020614	СН 1997-2735	19971126 <
BE 1012647	A5	20010206	BE 1997-953	19971127 <
AU 9746773	A	19980611	AU 1997-46773	19971128 <
AU 741581	В2	20011206		
US 6022906	A	20000208	US 1997-982147	19971201 <

CA	2223376	A1	19980606	CA	1997-2223376		19971203	<
FR	2758139	A1	19980710	FR	1997-15289		19971204	<
FR	2758139	B1	20010420					
NL	1007707	A1	19980609	NL	1997-1007707		19971205	<
NL	1007707	C2	19981027					
CN	1184117	A	19980610	CN	1997-125438		19971205	<
CN	1134456	С	20040114					
ZA	9710956	A	19980615	ZA	1997-10956		19971205	<
AT	500120	A1	20051015	ΑT	1997-2069		19971205	
AT	500120	B1	20070315					
JP	10291969	A	19981104	JΡ	1997-354199		19971208	<
BR	9706068	A	20000321	BR	1997-6068		19981203	<
PRIORITY	Y APPLN. INFO.:			ΕP	1996-810854	Α	19961206	
				DE	1997-19753655	Τ0	19971203	

OTHER SOURCE(S): MARPAT 129:68148

AB The title compds., of specified structure. are prepared for use as initiators of photopolymn. Adding 120 mL PhCl dropwise to 0.41 mol 2-bromo-1-(4-fluorophenyl)2-methyl-1-propanone in 80 mL MeOH containing 0.45 mol NaOMe at 20° gave 90.8 g crude

(4-fluorophenyl)-3,3-dimethyl-2-methoxyoxirane which, after vacuum distillation, was refluxed (0.35 mol) with 200 mL morpholine for 26 h to give 88.1 g 1-(4-fluorophenyl)-2-methyl-2-morpholinyl-1-propanone (I). Adding 80 mmol I in AcNMe2 over 14 h to 0.488 mol 1,3-propanedithiol and 22 g K2CO3 in AcNMe2 at 40° and stirring for 5 h gave 1-[4-[(3-mercaptopropyl)thio]phenyl]-2-methyl-2-morpholino-1-propanone. Use of the products in photopolymn. is exemplified.

L6 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1998:226847 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 128:282789

ORIGINAL REFERENCE NO.: 128:55979a,55982a

TITLE: Preparation of N-aryl substituted tetrahydroquinolines

having retinoid agonist, retinoid antagonist or retinoid inverse agonist type biological activity Beard, Richard L.; Teng, Min; Colon, Diana F.; Duong,

Tien T.; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan, USA SOURCE: U.S., 21 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PATENT NO			KIN	D	DATE			APPL	ICAT	ION 1	D.	DATE			
				_									_		
US 573933	8		Α		1998	0414		US 1	996-	7442	10		1	9961	105 <
CA 227089	3		A1		1998	0514	1	CA 1	997-	2270	893		1	9971	029 <
CA 227089	3		С		2008	1021									
WO 981999	9		A1		1998	0514	,	WO 1	997-	US19	915		1	9971	029 <
W: A	L, AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
D	K, EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,
L	C, LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
P	T, RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,	UZ,
V	N, YU,	ZW													
RW: G	H, KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,
G	B, GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,
G	N, ML,	MR,	ΝE,	SN,	TD,	ΤG									
AU 985101	1		Α		1998	0529		AU 1	998-	5101	1		1	9971	029 <

	AU	7299	97			В2		2001	0222										
	EP	9370	45			A1		1999	0825	E	P 1	997-	9139	59		1	9971	029	<
	EP	9370	45			В1		2004	0428										
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO											
	JP	2001	5044	58		T		2001	0403	J	P 1	.998-	5216	37		1	9971	029	<
	ΑT	2654	36			T		2004	0515	A	T 1	997-	9139	59		1	9971	029	
	ES	2219	760			Т3		2004	1201	E	S 1	997-	9139	59		1	9971	029	
PRI	IORIT	Y APP	LN.	INFO	.:					U	S 1	996-	7442	10		A 1	9961	105	
										N.	0 1	997-	US19	915	1	W 1	9971	029	
OTE	IER SO	JIIDCE	(8) .			MARI	PΔT	128.	2827	29									

Ι

OTHER SOURCE(S): MARPAT 128:282789

GΙ

$$\begin{bmatrix} R^{3} \\ X^{1} \\ X^{2} \\ R^{4} \end{bmatrix}$$

$$\begin{bmatrix} R^{2} \\ 1 \\ R^{2} \end{bmatrix}$$

$$\begin{bmatrix} R^{2} \\ 1 \\ 1 \end{bmatrix}$$

$$\begin{bmatrix} R^{2} \\ 1 \end{bmatrix}$$

The title compds. [I; R1 = H, C1-6 alkyl; R2 = C1-6 alkyl, F, Cl, Br, I; n = 0-3; R3 = C1-6 alkyl, F; X1, X2 = H, C1-6 alkyl; X1X2 = O; R4 = (un)substituted Ph, naphthyl, thienyl, etc.; Z = C.tplbond.C; (CR1:CR1)n (n = 0-5), CONR1; NR1CO; Y = (un)substituted Ph, naphthyl, heteroaryl; A = (CH2)q (q = 0-5), C3-6 alkyl, C3-6 cycloalkyl, etc.; B = H, COOH, CH2OH, etc.] having retinoid, retinoid antagonist or retinoid inverse agonist-like biol. activity, were prepared Thus, reaction of 4,4-dimethyl-1,2,3,4-tetrahydro-N-(4-methylphenyl)-7-ethynylquinoline (preparation described) with Et 4-iodobenzoate in the presence of Et3N, CuI and PdC12(Ph3P)2 followed by hydrolysis of the resulting Et  $4-\{2-[4,4-dimethyl-1,2,3,4-tetrahydro-N-(4-methylphenyl)quinolin-7-yl]ethynyl\}benzoate with aqueous LiOH in THF/MeOH afforded the title compound II which showed Ki of 13 nM against RAR<math>\alpha$  binding.

REFERENCE COUNT: 117 THERE ARE 117 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:361630 CAPLUS Full-text

DOCUMENT NUMBER: 126:330623

ORIGINAL REFERENCE NO.: 126:64259a,64262a

TITLE: Preparation of 4-anilinopyrido[3,4-d]pyrimidines and analogs as protein tyrosine kinase inhibitors

INVENTOR(S): Cockerill, George Stuart; Guntrip, Stephen Barry;

> Mckeown, Stephen Carl; Page, Martin John; Smith, Kathryn Jane; Vile, Sadie; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold; et al.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Cockerill, George Stuart;

Guntrip, Stephen Barry; Mckeown, Stephen Carl; Page,

Martin John; Smith, Kathryn Jane

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.			KIND DATE				APPLICATION NO.									
WO	9713	 771					1997	0417								 9961	010	<
	W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FΙ,	GB,	GE,	HU,	IL,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN	
	RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	
		ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG						
AU	9672	896			Α		1997	0430		AU 1	996-	7289	6		1	9961	010	<
ZA	9608	551			Α		1997	0718		ZA 1	996-	8551			1	9961	010	<
EP	8612	53			A1		1998	0902		EP 1	996-	9346	12		1	9961	010	<
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	FΙ															
JP	1151	3398			Τ		1999	1116		JP 1	996-	5147	11		1	9961	010	<
IN	1996	DE02	215		Α		2005	0311		IN 1	996-	DE22	15		1	9961	010	
US	6169	091			В1		2001	0102		US 1	998-	5132	4		1	9980	826	<
PRIORIT	Y APP	LN.	INFO	.:						GB 1	995-	2084	5		A 1	9951	011	
										GB 1	996-	1475	7		A 1	9960	713	
										WO 1	996-	EP43	99	1	W 1	9961	010	
OTHER SO	OURCE	(S):			MAR	PAT	126:	3306	23									

GΙ

AΒ Title compds. [I; R = YZ1ZR4; R2 = H, halo, CF3, alkyl, alkoxy; R4 = cycloalkyl, Ph, thienyl, pyridyl, etc.; R6R7 = atoms to complete a (heteroaryl-substituted) heterocyclic ring; X = N or CH; Y = O, OCH2, SOO-2, (alkyl)imino, etc.; Z = O, CH2, NRb, OCH2, etc.; Rb = H or alkyl; NRbR4 = heterocyclyl; Z1 = (un)substituted phenylene] were prepared Thus, 4,6dichloropyrido[3,4-d]pyrimidine was aminated by 4-(PhCH2O)C6H4NH2 and th product condensed with 5-tributylstannyl-N-methylimidazole to give title compound II. Data for biol. activity of I were given.

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS 4

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:205247 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 126:205763

ORIGINAL REFERENCE NO.: 126:39656h,39657a,39658a

TITLE: Preparation of organosilicon compounds, and

liquid-crystal composition and liquid-crystal display

element

INVENTOR(S): Kondo, Tomoyuki; Matsui, Shuichi; Hachiya, Norihisa;

Nakagawa, Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.				KIND DATE			APPLICATION NO.						DATE			
WO	9705144 W: CN,	.TP.	KR.	A1	-	1997	0213	V	vО	1996-	JP2103			19960726	<		
	•	•	•		DK	, ES,	FI,	FR,	GB	, GR,	IE, IT,	LU,	MO	C, NL, PT	, SE		
CN	1195352			Α		1998	1007		CN	1996-	196782			19960726	<		
EP	872484			A1		1998	1021	E	ΞP	1996-	925097			19960726	<		
EP	872484			В1		2002	1002										
	R: AT,	BE,	CH,	DE,	DK	, ES,	FR,	GB,	ΙT	, LI,	NL						
AT	225353			T		2002	1015	P	T	1996-	925097			19960726	<		
JP	3751640			В2		2006	0301	j	JΡ	1997-	507462			19960726			
US	5993690			A		1999	1130	Ţ	JS	1998-	409			19980126	<		
PRIORIT	Y APPLN.	INFO	.:						JΡ	1995-	211211		Α	19950727			
								V	VΟ	1996-	JP2103		W	19960726			

## OTHER SOURCE(S): MARPAT 126:205763

Organosilicon compds. represented by the general formula Ra-A-(Z1-A1)m-(Z2-A2)n-(Z3-A3)o-Rb [I; at least one of Ra, Rb, Z1, Z2 and Z3 has an SiH2 group; Ra = H or C1-2 alkyl wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH, C.tplbond.C, or 1,4-cyclobutylene; Rb = a group of any of the Ra groups, halo or cyano; A, A1, A2 and A3 represent each a bivalent ring group; Z1, Z2 and Z3 represent each independently a covalent bond or (CH2)p wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH or C.tplbond.C; p represents an integer of 1 to 4; m, n and o represent each independently 0 or 1], which are excellent in the compatibility with other liquid-crystal materials, reduced in viscosity, and improved in threshold voltage, are prepared A liquid crystal composition containing at least one silicon compound I and a liquid crystal display device using said liquid crystal composition are claimed. Thus, 10.0 g 4-bromo-4'-butoxybiphenyl was treated dropwise with BuLi in Et2O at  $-50^{\circ}$ , stirred at  $-50^{\circ}$  for 30 min, warmed to room temperature, stirred for 3 h, and resulting reaction mixture was added dropwise to a solution of 11.6 g propyltrichlorosilane in 10 mL THF at  $-50^{\circ}$ , and stirred at  $-50^{\circ}$  for 30 min and at room temperature for 48 h to give 4.6 g 4-propyldichlorosilyl-4'-butoxybiphenyl. The latter compound (3.0 g) was dissolved in Et2O and reduced by LiAlH4 at room temperature for 10 h to give 7.8% 4-propylsilyl-4'-butoxybiphenyl.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:186975 CAPLUS Full-text

DOCUMENT NUMBER: 126:212053

ORIGINAL REFERENCE NO.: 126:41007a,41010a

TITLE: Preparation of bis[bi(aryl/heteroaryl)] compounds as

inhibitors of leukotriene biosynthesis

INVENTOR(S): Friesen, Richard; Dube, Daniel; Ducharme, Yves;

Lepine, Carole; Delorme, Daniel; Hamel, Pierre

PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.

SOURCE: Can. Pat. Appl., 80 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CA 2169231	A1	19960816	CA 1996-2169231		19960209 <
US 5576338	A	19961119	US 1995-388787		19950215 <
PRIORITY APPLN. INFO.:			US 1995-388787	Α	19950215
OTHER SOURCE(S):	MARPAT	126:212053			
GI					

The title compds. Ar1Ar2-X-Ar3Ar4 [I; Ar1, Ar4 = (un)substituted 5-membered aromatic ring containing one O or S and O-3 N, 5-membered aromatic ring containing 1-4 N, 6-membered aromatic ring containing 0-3 N; Ar2 = (un)substituted arylene = 6-membered aromatic ring containing 0-3 N; Ar3 = (un)substituted arylene = 10-membered bicyclic aromatic ring containing 0-3 N, 2H-1-benzopyran-2-one, 2H-2-thioxo-1-benzopyran; X = OCH2, CH2O, O, S, S(O), S(O)2], useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents, and also in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques, were prepared Thus, reaction of 3-fluoro-5-(4-pyridyl)phenol with 7-bromomethyl-2-cyano-4-(3-furyl)quinoline in the presence of Cs2CO3 in DMF afforded the title compound II. In general, compds. I are effective at 0.1-10 mg/kg/day.

L6 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:134915 CAPLUS Full-text

DOCUMENT NUMBER: 126:144107

ORIGINAL REFERENCE NO.: 126:27853a,27856a

TITLE: Preparation of 5-aminoalkyl-2-(2-alkoxyphenyl)pyrroles having affinity for dopamine D3 receptors and their

use in the treatment of psychoses

INVENTOR(S): Watts, Eric Alfred

PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK; Watts, Eric Alfred

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700243	A1	19970103	WO 1996-EP2498	19960607 <
W: JP, US				
RW: AT, BE, CH,	DE, DK	C, ES, FI,	FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE
EP 832064	A1	19980401	EP 1996-920811	19960607 <
R: BE, CH, DE,	ES, FR	R, GB, IT,	LI, NL	
JP 11507657	T	19990706	JP 1996-502608	19960607 <
PRIORITY APPLN. INFO.:			GB 1995-12129	A 19950615
			WO 1996-EP2498	W 19960607

OTHER SOURCE(S): MARPAT 126:144107

GΙ

$$\mathbb{R}^4$$
 $\mathbb{R}^5$ 
 $\mathbb{R}^3$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^5$ 
 $\mathbb{R}^5$ 
 $\mathbb{R}^5$ 

The title compds. [I; R1 = C1-4 alkyl; R3 = (un)substituted Ph, 5- or 6-membered heterocyclic aromatic group; R2, R4, R5 = H, halo, C1-4 alkyl, etc.; Y = 1-(1-piperidinyl)ethyl, N-substituted 2-pyrrolidinyl, 2-piperidinyl, etc.], dopamine D3 antagonists with potential for the treatment of schizophrenia, were prepared and formulated. Thus, treatment of N-acetylpiperidine with POC13 followed by addition of 2-[(5-ethylsulfonyl-2-methoxy-4-phenyl)phenyl]-1H-pyrrole in C1CH2CH2C1, and treatment of the reaction mixture with NaBH4 afforded 38% I [R1 = Me; R2, R5 = H; R3 = Ph; R4 = EtSO2; Y = 1-(1-piperidinyl)ethyl] which showed IC50 of 4 nM at the human D3 receptor.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:724140 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 125:343103

ORIGINAL REFERENCE NO.: 125:63853a,63856a

TITLE: Optically active liquid crystal compound containing

deuterium atoms for display device

INVENTOR(S): Koizumi, Yasuyuki; Demus, Dietrich; Matsui, Shuichi;

Miyazawa, Kazutoshi; Sekiguchi, Yasuko; Nakagawa,

Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan SOURCE: Eur. Pat. Appl., 88 pp.

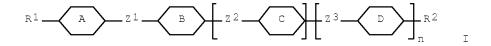
CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 735015	A2	19961002	EP 1996-300655	19960130 <
EP 735015	А3	19970611		
R: CH, DE, FR,	GB, IT	, LI		
JP 08325174	A	19961210	JP 1995-347773	19951214 <
PRIORITY APPLN. INFO.:			JP 1995-100105 A	19950331
OTHER SOURCE(S):	MARPAT	125:343103		
GI				



AΒ The title compound is represented by the formula I (R1, R2 = H, cyano, halogen, or alkyl or halogenated alkyl with 1-20 C atoms with the proviso that ≥1 methylene group in the alkyl group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; Z1-3 = a covalent bond or an alkylene group with 1-4 C atoms with the proviso that  $\geq 1$  methylene group in the alkylene group may be substituted by 0, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; m, n = 0 or 1; rings A, B, C, D = a benzene, bicyclo[1.1.1]pentane, bicyclo[2.1.1]hexane, bicyclo[2.2.1]heptane, bicyclo[2.2.2]octane, naphthalene, 1,2,3,4-tetrahydronaphthalene, perhydronaphthalene, fluorene, phenanthrene, 9,10-dihydrophenanthrene, indane, indene, cycloalkane, or cycloalkene ring which may be substituted by O, S, or N atoms) with optically active C atoms bonded to D atoms. With the use of the title compound, it is possible to prepare a liquid crystal composition with controlled pitch and spiral direction without the use of a chiral dopant.

L6 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:616620 CAPLUS Full-text

DOCUMENT NUMBER: 125:275529

ORIGINAL REFERENCE NO.: 125:51521a,51524a

TITLE: Process for the stereospecific synthesis of

azetidinones

INVENTOR(S): Thiruvengadam, Tiruvettipuram K.; Tann, Chou Hong;

Mcallister, Timothy L.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 179,008.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 5561227	А	19961001	US 1994-265466	19940623	<
CA 2114007	A1	19930204	CA 1992-2114007	19920721	<
CA 2114007	С	20051220			
AU 9223980	A	19930223	AU 1992-23980	19920721	<
AU 658441	В2	19950413			
ZA 9205487	А	19930331	ZA 1992-5487	19920721	<
EP 596015	A1	19940511	EP 1992-916790	19920721	
EP 596015	В1	19971001			
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, MC	, NL, SE	
JP 06508637	T	19940929			<
JP 2525125	В2	19960814			
US 5306817	А	19940426	US 1992-962768	19921019	<
LV 10429	В	19950820	LV 1992-550	19921229	<
LT 3369	В	19950825	LT 1992-261	19921229	<
US 6093812	А	20000725	US 1994-179008	19940107	<
NO 9400221	А	19940121	NO 1994-221	19940121	<
PRIORITY APPLN. INFO.:			US 1991-734426	B2 19910723	
			US 1991-734652	B2 19910723	
			US 1992-962768	A3 19921019	
			US 1994-179008	A2 19940107	
			WO 1992-US5972	W 19920721	
OTHER SOURCE(S):	CASREA	CT 125:275	529; MARPAT 125:275529		

Ph(CH<sub>2</sub>)<sub>4</sub>OMe

GΙ

AB Azetidinone derivs. are prepared stereospecifically by using a chiral oxazolidinone auxiliary. Thus, (R)-(+)-4-benzyl-2-oxazolidinone was acylated with Ph(CH2)4COCl, followed by aldol condensation with 4-MeOC6H4CHO, transamidation with 4-MeOC6H4NH2, and cyclization with EtO2CN:NCO2Et-PBu3 to give the azetidinone I.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:881320 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 123:285781

ORIGINAL REFERENCE NO.: 123:51211a,51214a

TITLE: Preparation of (pyranylbenzyloxy) coumarins and analogs

as leukotriene biosynthesis inhibitors

INVENTOR(S): Fortin, Rejean; Girard, Yves; Grimm, Erich;

Hutchinson, John; Scheigetz, John

PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.

SOURCE: Can. Pat. Appl., 85 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
CA 2125824	A1	19941224	CA 1994-2125824		19940614 <
CA 2125824	С	20060711			
US 5424320	A	19950613	US 1993-81528		19930623 <
PRIORITY APPLN. INFO.:			US 1993-81528	Α	19930623
OTHER SOURCE(S):	CASRE	ACT 123:2857	81; MARPAT 123:285781		
GT					

RZX3
$$Q = R1$$

$$R10$$

$$R11$$

$$R3$$

$$R4$$

$$R4$$

$$R3$$

$$R4$$

$$R3$$

$$R4$$

Title compds. [I; R = heterocyclyl group Q; R1 = H, OH, alkyl(oxy); R2,R4 = H, alkyl; R1R2 = O; R3 = H, (hydroxy)alkyl, alkoxyalkyl; R1R3 = (saturated)(oxa)alkylene; R7 = H, OH, alkyl(oxy), etc.; R9 = H, halo, OH, alkyl(oxy), etc.; R10 = H, alkyl, heteroaryl, etc.; R11,R12 = H, alkyl; R11R12 = bond; X1 = O, S00-2, CH2; X2 = O, S, CH2, etc.; X3 = O, S00-2, OCH2, CH20, etc.; Z = (hetero)arylene; Z1 = CH(R5)m; R5 = H, OH, alkyl(oxy); m = 0 or 1] were prepared as leukotriene biosynthesis inhibitors (no data). Thus, 2,4-(H0)2C6H3COPh was etherified by 3-(4-hydroxytetrahydropyran-4-yl)benzyl bromide (preparation given) and the product cyclocondensed with Ph3P:CH2CO2Me to give title compound II.

L6 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:14536 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 122:72018

ORIGINAL REFERENCE NO.: 122:13491a,13494a

TITLE: Heteroarylnaphthalenes as inhibitors of leukotriene

biosynthesis

Girard, Yves; Delorme, Daniel; Fortin, Rejean; Dube, Daniel; Hamel, Pierre; Lepine, Carol; Ducharme, Yves

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.

SOURCE: U.S., 39 pp. Cont.-in-part of U.S. Ser. No. 906,067,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

INVENTOR(S):

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
US	5308	 852				_	1994	0503		US 1	992-	9368	07		1	 9920	827	<
CA	2099	061					1993	1230		CA 1	993-	2099	061		1	9930	623	<
CA	2099	061			С		2003	0819										
EP	5793	04			A1		1994	0119		EP 1	993-	2018	29		1	9930	624	<
	R:	ΑT,	BE,	CH,	DE,	DK	, ES,	FR,	GB,	GR,	ΙE,	IT,	LI,	LU,	NL,	PT,	SE	
ZA	9304	623			Α		1993	1222		ZA 1	993-	4623			1	9930	628	<
AU	9341	569			Α		1994	0106		AU 1	993-	4156	9		1	9930	628	<
WO	9400	444			A1		1994	0106		WO 1	993-	CA27	1		1	9930	628	<
	W:	BB,	ВG,	BR,	BY,	CZ	, FI,	HU,	KR,	KΖ,	LK,	MG,	MN,	MW,	NO,	NZ,	PL,	
		RO,	RU,	SD,	SK,	UA	, US											
	RW:	BF,	ВJ,	CF,	CG,	CI	, CM,	GΑ,	GN,	ML,	MR,	NE,	SN,	TD,	TG			
CN	1087	907			Α		1994	0615		CN 1	993-	1095	18		1	9930	628	<
JP	0608	7847			Α		1994	0329		JP 1	993-	1855	27		1	9930	629	<
JP	0711	6173			В		1995	1213										
PRIORIT	Y APP	LN.	INFO	.:						US 1	992-	9060	67		B2 1	9920	629	
										US 1	992-	9368	07		A 1	9920	827	
OTHER SO	OURCE	(S):			MAR	PAT	122:	7201	8									

GT

AΒ Compds. I [R1, R5 = H, OH, lower alkyl, lower alkoxy; R2 = H, lower alkyl, or together with R1 forms :0; R3 = H, lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, or R1 and R3 may join to form mono-oxa, monocarbon bridge; R4, R6, R13 = H, lower alkyl; R7 = H, OH, lower alkyl, lower alkoxy, etc.; R8 = H, halo, lower alkyl, OH, lower alkoxy, CF3, CN, COR13; R9 = H, lower alkyl, lower alkoxy, hydroxy lower alkyl, etc.; R10, R11 = H, lower alkyl, lower alkoxy, hydroxy lower alkyl, lower alkoxy, etc.; X1, X2 = 0, C(R6)2 (one but not both of X1 or X2 is 0); X3 = C(R6)20, OC(R6)2; Ar1 = arylene-(R8)2(arylene = phenylene, pyridylene, thiaylene); Ar2 = aryl-(R9)2 (aryl = 5membered aromatic ring with 1  $\circ$  or  $\circ$  and  $\circ$  3  $\circ$  4, 5-membered aromatic ring with 1-4 N, 6-membered aromatic ring with 0-3 N, 2- or 4-pyranone, etc., with provisos)] are inhibitors of leukotriene biosynthesis. These compds. are useful as antiasthmatic, antiallergic, antiinflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis and allograft rejection, and in preventing the formation of atherosclerotic plaques. Preparation of a large number of I and of intermediates therefor is included.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1994:298482 CAPLUS Full-text

DOCUMENT NUMBER: 120:298482

ORIGINAL REFERENCE NO.: 120:52604h,52605a

TITLE: Carbostyril derivatives and salts thereof,

anti-arrhythmic agents containing them, and their

preparation

INVENTOR(S): Tabusa, Fujio; Nagami, Kazuyoshi; Tsutsui, Hironori

PATENT ASSIGNEE(S): Higuchi, Yoshinari, Japan SOURCE: Pat. Specif. (Aust.), 148 pp.

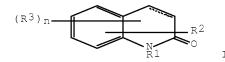
CODEN: ALXXAP

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 639529	B2	19930729	AU 1991-70939	19910211 <
AU 9170939	A	19910509		
PRIORITY APPLN. INFO.:			AU 1991-70939	19910211
OTHER SOURCE(S):	MARPAT	120:298482		
GI				



Carbostyrils and dihydro derivs. I [R1 = H, alkyl, alkenyl, alkynyl, phenylalkyl, carboxyalkyl, phenylalkoxyalkyl, amidoalkyl, saturated heterocyclylcarbonylalkyl; R2 = N3, azidocarbonyl, phthalimido, pyrrolidinyl, pyridyl, various (un)substituted NH2 groups, piperidinyl, quinuclidinyl; R3 = alkyl, haloalkyl, alkoxy, OH, halo, CO2H, Ph, phenylalkoxy, alkenyloxy, alkanoylalkoxy, alkylaminocarbonylalkoxy; n = 0, 1, 2; optional 3,4-double bond], some of which are novel and/or prepared, are useful as antiarrhythmics. For example, cyclization of  $2-[2-(4-\text{benzyl-1-piperidinyl})\text{acetyl}]\text{amino-3-methylbenzaldehyde by NaOEt in refluxing EtOH gave I [R1 = H, R2 = 8-Me, R3 = <math>3-(4-\text{benzyl-1-piperidinyl})$ ;  $\Delta 3$  present], isolated as the HCl salt. Various I were active at  $3-300~\mu\text{mol}$  doses when tested against elec.-stimulated contractions of isolated feline cardiac muscle samples. Approx. 170 I (free bases and/or salts) are listed with phys. data, and antiarrhythmic test data are given for 27 compds.

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